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(54) Medicament containing progesterone

(57) A medicament, for the treatment of mammary disorders such as mastodynias and mastopathias, is in the form of a gel containing progesterone and is administered percutaneously.

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SPECIFICATION

Medicament based on progesterone

The present invention relates to a medicament based on progesterone for the treatment of mammary disorders such as mastodynias and mastopathias.

This medicament is characterized in that the progesterone is in the form of gel applied by the percutaneous route.

In fact, it has been discovered, according to the invention, that progesterone, among the hormonal steroids, presents the particular feature of being metabolized in the skin into derivatives whose hormonal activity appears to be virtually zero. This results in that, when a solution of progesterone is administered by the percutaneous route, 80% of the steroids are metabolized in the skin and only 20% are capable of passing the cutaneous barrier. The percutaneous administration of progesterone therefore offers a particular advantage in mammary pathology, since it allows administration and concentration within the mammary receptor itself of a large quantity of progesterone. On the other hand, a concentration just sufficient for a therapeutic effect at mammary level is often obtained, by the oral or injectable route, only at the cost of excessive activity at uterus level, sometimes causing an atrophy of the tunica mucosa uteri, or even a metrorhagia. The percutaneous route therefore enables the disparity of the respective concentrations to be reversed and the desired effect on the mammary gland to be obtained, without undesirable repercussions on the uterus.

According to the invention, the progesterone is therefore applied by the percutaneous route in the form of a dilute alcoholic gel having a concentration of 1%. In other words, for 100 grams of composition, the progesterone is present at the rate of 1 gram and the excipient is constituted by a carboxypolyvinyl polymer, triethanolamine, 95° alcohol and purified water. The progesterone, at breast level, opposed the increase in the capillary permeability provoked by the oestrogens, it participates in the growth and differentiation of the galactophori and acini and it blocks the cycle of the rapid epithelial mitoses provoked by the oestrogens.

The administration of pure progesterone by the percutaneous route makes it possible to treat and prevent the vascular and cellular effects of a local deficit of progesterone at breast level. It may be employed in all cases of benign mammary pathology, such as mastodynias, mastopathias, prevention of recurrences (cysts, adeno-fibromas). Therapeutical tests have been made on a group of 52 women, in two ways namely:

In a first group, only the progesterone was administered by the percutaneous route in 26 patients whilst, in the second group of 26 patients, the progesterone was administered by the percutaneous route in association with a synthetic luteomimetic, an oestroprogestative or other hormonal medication.

In each of the two groups of 26 paitents, the following had been observed: essential mastodynias, i.e. painful phenoma of congestion without any morphological substratum on physical examination (four times in group 1, six times in group 2); a mastopathia in the form of an isolated cystic dystrophy or of multiple microcysts without mastodynias (five times in group 1, four times in group 2); a mammary dystrophy associated with a mastodynia (thirteen times in group 1, eight times in group 2); the existance of organised benign mammary formations associated or not with a mastodynia and/or with a cystic dystrophy (four times in group 1, eigth times in group 2).

In the 52 patients referred to above, the progesterone was administrated by the percutaneous route in the form of dilute alcoholic gel at a concentration of 1%. A dose of 5 grams was applied to the two breasts each day, from the beginning of the cycle and during menstruation for one month, then in the second part of the cycle during the following two months.

In group 1, the results were excellent in eight cases and good in seven cases. The results were considered as being excellent when an improvement or disappearance of the mastodynia, a regression of the mammary swelling with disappearance of the local phenomena of cutaneous hypervascularisation and, when associated with a mastopathia, an involution of the latter, were observed in the patients. The results were considered good when the mastodynia disappeared and the mastosic element persisted, and mediocre when there was persistence of the disorders, although they were attenuated. In group 1, only seven mediocre cases and three failures were obtained.

With the patients of group 2, the results varied depending on the therapeutic association of the percutaneous progesterone and, the luteomimetics of synthetic oestroprogestatives by the oral route. In virtually all types of association, a majority of cases with excellent or good results was always encountered. General tolerance was excellent in all cases. No repercussions of the percutaneous therapy by progesterone was observed on the menstrual cycle, nor on the digestive, particularly hepatic, function, nor did the patients put on weight, as may be the case when luteomimetics or oestroprogestatives are taken orally. No local cutaneous intolerance was observed during application, nor allergic phenomenon nor cutaneous pigmentation.

CLAIMS

1. Medicament based on progesterone for the treatment of mamxary disorders such as mastodynias and mastopathias, wherein it is presented in the form of gel for application by the percutaneous route.

2. The medicament of claim 1, wherein it is constituted by a dilute alcoholic gel.

3. The medicament of claim 2, wherein it comprises 1% of pure progesterone.

4. The medicament of claim 3, wherein the excipient is constituted by a carboxypolyvinyl polymer, triethanolamine, 95% alcohol and purified

water.

5. Medicament substantially as hereinbefore described.

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